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PATENT COOPERATION TREATY

PCT/FR2003/000004



PCT

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

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| Applicant's or agent's file reference SSL0046/JL | FOR FURTHER ACTION See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416) | |
| International application No. PCT/FR2003/000004 | International filing date (day/month/year) 03 janvier 2003 (03.01.2003) | Priority date (day/month/year) 07 janvier 2002 (07.01.2002) |
| International Patent Classification (IPC) or national classification and IPC C07D 471/08, A61K 31/439, A61P 25/00, C07D 471/08, 221/00 | | |
| Applicant SANOFI-SYNTHELABO | | |

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.
2. This REPORT consists of a total of 4 sheets, including this cover sheet.

This report is also accompanied by ANNEXES, i.e., sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).

These annexes consist of a total of _____ sheets.

3. This report contains indications relating to the following items:

- I Basis of the report
- II Priority
- III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- IV Lack of unity of invention
- V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- VI Certain documents cited
- VII Certain defects in the international application
- VIII Certain observations on the international application

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|--|--|
| Date of submission of the demand 22 juillet 2003 (22.07.2003) | Date of completion of this report 27 August 2003 (27.08.2003) |
| Name and mailing address of the IPEA/EP | Authorized officer |
| Facsimile No. | Telephone No. |

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International application No.

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I. Basis of the report

1. This report has been drawn on the basis of (*Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to the report since they do not contain amendments.*):

 the international application as originally filed. the description, pages 1-17, as originally filed,

pages _____, filed with the demand,

pages _____, filed with the letter of _____,

pages _____, filed with the letter of _____.

 the claims, Nos. 1-4, as originally filed,
Nos. _____, as amended under Article 19,

Nos. _____, filed with the demand,

Nos. _____, filed with the letter of _____,

Nos. _____, filed with the letter of _____.

 the drawings, sheets/fig _____, as originally filed,
sheets/fig _____, filed with the demand,
sheets/fig _____, filed with the letter of _____,
sheets/fig _____, filed with the letter of _____.

2. The amendments have resulted in the cancellation of:

 the description, pages _____ the claims, Nos. _____ the drawings, sheets/fig _____

3. This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).

4. Additional observations, if necessary:

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V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

| | | | |
|-------------------------------|--------|-----|-----|
| Novelty (N) | Claims | 1-4 | YES |
| | Claims | | NO |
| Inventive step (IS) | Claims | 1-4 | YES |
| | Claims | | NO |
| Industrial applicability (IA) | Claims | 1-4 | YES |
| | Claims | | NO |

2. Citations and explanations

1. The following are the most relevant prior art documents:

D1: US-A-5 817 679 (SHEN ET AL.) 6 October 1998
(1998-10-06)

D2: WO 00 34279 A (SANOFI SYNTHELABO) 15 June 2000
(2000-06-15)

D3: WO 00 34284 A (SANOFI SYNTHELABO) 15 June 2000
(2000-06-15)

2. The content of the application is novel over documents D1 to D3 because the bicyclic system attached to the pyridinyl ring is either aza-bicyclo[2.2.1]-heptane, 1,4-diazabicyclo[3.2.2]-octane or 2,5-diazabicyclo[2.2.1]-heptane, whereas in the compounds of the invention, said ring is a 1-azabicyclo[3.2.1]-octane.

3. D3 describes structurally the closest prior art since the compounds described therein have the same pharmacological properties.

The problem forming the basis for the present application appears to be that of providing novel

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polycyclic compounds having an affinity for nicotinic receptors.

The experimental design set forth in the description shows that the problem has indeed been solved.

A person skilled in the art could not have determined, on the basis of D3 alone or in combination with D2, that replacing the bicyclic system of D3 with a 1-azabicyclo[3.2.1]-octanyl ring leads to compounds that retain an affinity for nicotinic receptors.

An inventive step is therefore acknowledged.

4. No objection is raised in respect of industrial applicability.